

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
8 August 2002 (08.08.2002)

PCT

(10) International Publication Number  
WO 02/060257 A1

- (51) International Patent Classification<sup>7</sup>: A01N 37/34, A61K 31/277
- (21) International Application Number: PCT/EP02/00568
- (22) International Filing Date: 21 January 2002 (21.01.2002)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:  
0097/01 22 January 2001 (22.01.2001) CH
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- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PI, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR).
- Published:  
— with international search report  
— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PHARMACEUTICAL COMPOSITION CONTAINING AMINOACETONITRILE COMPOUNDS AND THE USE THEREOF FOR THE PREPARATION OF A PHARMACEUTICAL COMPOSITION FOR THE TREATMENT OF ENDOPARASITIC PESTS IN ANIMALS

(57) Abstract: The invention relates to the use of aminoacetonitrile compounds of formula wherein Ar<sub>1</sub>, Ar<sub>2</sub>, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, Q, W, a, b and d have the significances given in claim 1, in the control of endoparasites, especially helminths, in warm-blooded productive livestock and domestic animals.

- 1 -

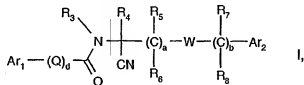
PHARMACEUTICAL COMPOSITION CONTAINING AMINOACETONITRILE COMPOUNDS AND THE USE THEREOF FOR THE PREPARATION OF A PHARMACEUTICAL COMPOSITION FOR THE TREATMENT OF ENDOPARASITIC PESTS IN ANIMALS

The present invention relates to the use of known aminoacetonitrile compounds in the control of endoparasites, especially helminths, in warm-blooded productive livestock and domestic animals.

Attempts have been made to control helminths, in which the endoparasitic nematodes may be the cause of serious diseases of mammals and poultry, by using a few minor classes of active ingredients, for example milbemycins. However, the active ingredients disclosed up until now in literature cannot always fulfil the requirements regarding potency and activity spectrum. There is therefore a need for active ingredients with improved pesticidal properties. It has now been found that the aminoacetonitrile compounds described here possess outstanding properties against endoparasites.

Aminoacetonitrile compounds with pesticidal, especially insecticidal, activity for the protection of plants are described for example in EP 0 953 565 A2. It has surprisingly been shown that the following selection of compounds of formula I also have exceptionally good activity against endoparasites of warm-blooded animals and are tolerated extremely well by the host animal.

The compounds correspond to the general formula



wherein

Ar<sub>1</sub> and Ar<sub>2</sub>, independently of one another, signify unsubstituted phenyl or phenyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyloxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonylamino, halo-C<sub>1</sub>-

C<sub>6</sub>-alkylsulfonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, unsubstituted phenyl or phenyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl; unsubstituted phenoxy or phenoxy which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl; unsubstituted phenylacetylenyl or phenylacetylenyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl; and unsubstituted pyridyloxy or pyridyloxy which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl; unsubstituted pyridyl or pyridyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfanyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfanyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-C<sub>1</sub>-C<sub>6</sub>-alkylamino; or

unsubstituted naphthyl or naphthyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>2</sub>-C<sub>6</sub>-

- 3 -

alkenylsulfinyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-C<sub>1</sub>-C<sub>6</sub>-alkylamino;

Q signifies C(R<sub>1</sub>)(R<sub>2</sub>), CH=CH or C≡C;

R<sub>1</sub> and R<sub>2</sub> independently of one another, signify hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, or unsubstituted C<sub>3</sub>-C<sub>6</sub>-cycloalkyl or C<sub>3</sub>-C<sub>6</sub>-cycloalkyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen and C<sub>1</sub>-C<sub>6</sub>-alkyl;

or together with the carbon to which they are bonded, signify C<sub>2</sub>-C<sub>6</sub>-alkylene that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl and C<sub>1</sub>-C<sub>6</sub>-alkoxy;

d signifies 0 or 1;

R<sub>3</sub> signifies hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, cyano-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>2</sub>-C<sub>6</sub>-alkenyl or C<sub>2</sub>-C<sub>6</sub>-alkinyl;

R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> and R<sub>8</sub> either, independently of one another, signify hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen and C<sub>1</sub>-C<sub>6</sub>-alkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-C<sub>1</sub>-C<sub>6</sub>-alkylamino;

or R<sub>4</sub> and R<sub>5</sub> together signify C<sub>2</sub>-C<sub>6</sub>-alkylene;

W signifies O, S, S(O<sub>2</sub>) or N(R<sub>9</sub>);

R<sub>9</sub> signifies hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl; and

a and b, independently of one another, are 0, 1, 2, 3 or 4,

and optionally the enantiomers thereof, whereby W is other than O if b is 0.

Alkyl - as a group *per se* and as structural element of other groups and compounds such as halogen-alkyl, alkoxy, and alkylthio - is, in each case with due consideration of the specific

number of carbon atoms in the group or compound in question, either straight-chained, i.e. methyl, ethyl, propyl, butyl, pentyl, hexyl, heptyl or octyl, or branched, e.g. isopropyl, isobutyl, sec.-butyl, tert.-butyl, isopentyl, neopentyl or isohexyl.

Cycloalkyl - as a group *per se* and as structural element of other groups and compounds such as halocycloalkyl, cycloalkoxy and cycloalkylthio, - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl.

Alkenyl - as a group *per se* and as structural element of other groups and compounds - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question and of the conjugated or isolated double bonds - either straight-chained, e.g. allyl, 2-butenyl, 3-pentenyl, 1-hexenyl, 1-heptenyl, 1,3-hexadienyl or 1,3-octadienyl, or branched, e.g. isopropenyl, isobutenyl, isoprenyl, tert.-pentenyl, isohexenyl, isoheptenyl or isoctenyl.

Alkynyl - as a group *per se* and as structural element of other groups and compounds - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question and of the conjugated or isolated double bonds - either straight-chained, e.g. propargyl, 2-butenyl, 3-pentynyl, 1-hexynyl, 1-heptynyl, 3-hexen-1-ynyl or 1,5-heptadien-3-ynyl, or branched, e.g. 3-methylbut-1-ynyl, 4-ethylpent-1-ynyl, 4-methylhex-2-ynyl or 2-methylhept-3-ynyl.

Aryloxy is phenyloxy or 1- or 2-naphthyloxy.

As a rule, halogen signifies fluorine, chlorine, bromine or iodine. The same applies to halogen in combination with other significances, such as halogenalkyl or halogenphenyl.

Halogen-substituted carbon-containing groups and compounds may be partially halogenated or perhalogenated, whereby in the case of multiple halogenation, the halogen substituents may be identical or different. Examples of halogen-alkyl - as a group *per se* and as structural element of other groups and compounds such as halogen-alkoxy or halogen-alkylthio, - are methyl which is mono- to trisubstituted by fluorine, chlorine and/or bromine, such as  $\text{CHF}_2$  or  $\text{CF}_3$ ; ethyl which is mono- to pentasubstituted by fluorine, chlorine and/or bromine, such as  $\text{CH}_2\text{CF}_3$ ,  $\text{CF}_2\text{CF}_3$ ,  $\text{CF}_2\text{CCl}_3$ ,  $\text{CF}_2\text{CHCl}_2$ ,  $\text{CF}_2\text{CHF}_2$ ,  $\text{CF}_2\text{CFCl}_2$ ,  $\text{CF}_2\text{CHBr}_2$ ,  $\text{CF}_2\text{CHClF}$ ,  $\text{CF}_2\text{CHBrF}$  or  $\text{CClFCHClF}$ ; propyl or isopropyl, mono- to heptasubstituted by fluorine, chlorine and/or bromine, such as  $\text{CH}_2\text{CHBrCH}_2\text{Br}$ ,  $\text{CF}_2\text{CHFCF}_3$ ,  $\text{CH}_2\text{CF}_2\text{CF}_3$  or  $\text{CH}(\text{CF}_3)_2$ ; and butyl or one of its isomers, mono- to

nonasubstituted by fluorine, chlorine and/or bromine, such as  $\text{CF}(\text{CF}_3)\text{CHF}\text{CF}_3$  or  $\text{CH}_2(\text{CF}_2)_2\text{CF}_3$ ; pentyl or one of its isomers substituted one to eleven times by fluorine, chlorine and/or bromine, such as  $\text{CF}(\text{CF}_3)(\text{CHF})_2\text{CF}_3$  or  $\text{CH}_2(\text{CF}_2)_3\text{CF}_3$ ; and hexyl or one of its isomers substituted one to thirteen times by fluorine, chlorine and/or bromine, such as  $(\text{CH}_2)_4\text{CHBrCH}_2\text{Br}$ ,  $\text{CF}_2(\text{CHF})_4\text{CF}_3$ ,  $\text{CH}_2(\text{CF}_2)_4\text{CF}_3$  or  $\text{C}(\text{CF}_3)_2(\text{CHF})_2\text{CF}_3$ .

Alkoxy groups preferably have a chain length of 1 to 6 carbon atoms. Alkoxy is for example methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, isobutoxy, sec.-butoxy and tert.-butoxy, as well as the isomers pentyloxy and hexyloxy; preferably methoxy and ethoxy. Halogenalkoxy groups preferably have a chain length of 1 to 6 carbon atoms. Halogenalkoxy is e.g. fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2,2-difluoroethoxy and 2,2,2-trichloroethoxy; preferably difluoromethoxy, 2-chloroethoxy and trifluoromethoxy.

Preferred embodiments within the scope of the invention are:

(1) A compound of formula I, wherein  $\text{Ar}_1$  and  $\text{Ar}_2$ , independently of one another, signify phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $\text{C}_1\text{-C}_6$ -alkyl, halo- $\text{C}_1\text{-C}_6$ -alkyl,  $\text{C}_1\text{-C}_6$ -alkoxy, halo- $\text{C}_1\text{-C}_6$ -alkoxy,  $\text{C}_2\text{-C}_6$ -alkenyl, halo- $\text{C}_2\text{-C}_6$ -alkenyl,  $\text{C}_2\text{-C}_6$ -alkinyl,  $\text{C}_3\text{-C}_6$ -cycloalkyl,  $\text{C}_2\text{-C}_6$ -alkenyloxy, halo- $\text{C}_2\text{-C}_6$ -alkenyloxy,  $\text{C}_1\text{-C}_6$ -alkylcarbonyl, halo- $\text{C}_1\text{-C}_6$ -alkylcarbonyl,  $\text{C}_1\text{-C}_6$ -alkoxycarbonyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $\text{C}_1\text{-C}_6$ -alkyl, halo- $\text{C}_1\text{-C}_6$ -alkyl,  $\text{C}_1\text{-C}_6$ -alkoxy and halo- $\text{C}_1\text{-C}_6$ -alkoxy; phenoxy that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $\text{C}_1\text{-C}_6$ -alkyl, halo- $\text{C}_1\text{-C}_6$ -alkyl,  $\text{C}_1\text{-C}_6$ -alkoxy and halo- $\text{C}_1\text{-C}_6$ -alkoxy; phenylacetylenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $\text{C}_1\text{-C}_6$ -alkyl, halo- $\text{C}_1\text{-C}_6$ -alkyl,  $\text{C}_1\text{-C}_6$ -alkoxy and halo- $\text{C}_1\text{-C}_6$ -alkoxy; and pyridyloxy that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $\text{C}_1\text{-C}_6$ -alkyl, halo- $\text{C}_1\text{-C}_6$ -alkyl,  $\text{C}_1\text{-C}_6$ -alkoxy and halo- $\text{C}_1\text{-C}_6$ -alkoxy; or

pyridyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyl and halo-C<sub>2</sub>-C<sub>6</sub>-alkenyl;

in particular, independently of one another, phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy; and phenoxy that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy; or

pyridyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy;

especially, independently of one another, phenyl that is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy; and phenoxy that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy;

(2) A compound of formula I, wherein Q is C(R<sub>1</sub>)(R<sub>2</sub>) or CH=CH;

especially C(R<sub>1</sub>)(R<sub>2</sub>);

(3) A compound of formula I, wherein R<sub>1</sub> and R<sub>2</sub>, independently of one another, signify hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy or C<sub>3</sub>-C<sub>6</sub>-cycloalkyl; or together with the carbon to which they are bonded, are C<sub>2</sub>-C<sub>6</sub>-alkylene;

in particular, independently of one another, hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl; or together with the carbon to which they are bonded, C<sub>2</sub>-C<sub>4</sub>-alkylene;

especially hydrogen or together with the carbon to which they are bonded, C<sub>2</sub>-C<sub>4</sub>-alkylene;

(4) A compound of formula I, wherein d is 1;

(5) A compound of formula I, wherein  $R_3$  is hydrogen,  $C_1$ - $C_6$ -alkyl or halo- $C_1$ - $C_6$ -alkyl;

especially hydrogen or  $C_1$ - $C_4$ -alkyl;

most particularly hydrogen;

(6) A compound of formula I, wherein  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$  und  $R_8$ , independently of one another, are hydrogen, halogen,  $C_1$ - $C_6$ -alkyl, halo- $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -Cycloalkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $C_1$ - $C_6$ -alkyl, halo- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy, halo- $C_1$ - $C_6$ -alkoxy;

especially, independently of one another, hydrogen, halogen,  $C_1$ - $C_6$ -alkyl, halo- $C_1$ - $C_6$ -alkyl or  $C_3$ - $C_6$ -cycloalkyl;

more especially, independently of one another, hydrogen, halogen,  $C_1$ - $C_6$ -alkyl or halo- $C_1$ - $C_6$ -alkyl;

(7) A compound of formula I, wherein W is O, S or N( $R_9$ );

especially O or N( $R_9$ );

particularly O;

(8) A compound of formula I, wherein  $R_9$  signifies hydrogen or  $C_1$ - $C_4$ -alkyl;

especially hydrogen or  $C_1$ - $C_2$ -alkyl;

particularly methyl;

(9) A compound of formula I, wherein a and b, independently of one another, signify 0, 1, 2 or 4;

especially independently of one another 0, 1 or 4;

particularly independently of one another 0 or 1;

(10) A compound of formula I, wherein  $Ar_1$  and  $Ar_2$ , independently of one another, signify phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $C_1$ - $C_6$ -alkyl, halo- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy, halo- $C_1$ - $C_6$ -alkoxy,  $C_2$ - $C_6$ -alkenyl, halo- $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkinyl,  $C_3$ - $C_6$ -cycloalkyl,  $C_2$ - $C_6$ -alkenyloxy, halo- $C_2$ - $C_6$ -alkenyloxy,  $C_1$ - $C_6$ -alkylcarbonyl, halo- $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl; phenyl that is either unsubstituted or substituted once or many times, whereby the



substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy; phenoxy that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy; phenylacetylenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy; and pyridyloxy that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy; or

pyridyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy and halo-C<sub>2</sub>-C<sub>6</sub>-alkenyloxy;

Q signifies C(R<sub>1</sub>)(R<sub>2</sub>) or CH=CH;

R<sub>1</sub> and R<sub>2</sub>, independently of one another, signify hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy or C<sub>3</sub>-C<sub>6</sub>-cycloalkyl; or together with the carbon to which they are bonded, are C<sub>2</sub>-C<sub>6</sub>-alkylene;

d is 1;

R<sub>3</sub> signifies hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl or halo-C<sub>1</sub>-C<sub>6</sub>-alkyl;

R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> und R<sub>8</sub>, independently of one another, are hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy;

W signifies O, S or N(R<sub>9</sub>);

R<sub>9</sub> signifies hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl; and

a and b, independently of one another, are 0, 1, 2 or 4,

- 9 -

(11) A compound of formula I, wherein  $Ar_1$  und  $Ar_2$ , independently of one another, signify phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $C_1-C_6$ -alkyl, halo- $C_1-C_6$ -alkyl,  $C_1-C_6$ -alkoxy, halo- $C_1-C_6$ -alkoxy; and phenoxy that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $C_1-C_6$ -alkyl, halo- $C_1-C_6$ -alkyl,  $C_1-C_6$ -alkoxy and halo- $C_1-C_6$ -alkoxy; or

pyridyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $C_1-C_6$ -alkyl, halo- $C_1-C_6$ -alkyl,  $C_1-C_6$ -alkoxy and halo- $C_1-C_6$ -alkoxy;

Q signifies  $C(R_1)(R_2)$ ;

$R_1$  and  $R_2$ , independently of one another, signify hydrogen or  $C_1-C_6$ -alkyl; or together with the carbon to which they are bonded,  $C_2-C_4$ -alkylene;

d is 1;

$R_3$  signifies hydrogen or  $C_1-C_4$ -alkyl;

$R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$  and  $R_8$ , independently of one another, signify hydrogen, halogen,  $C_1-C_6$ -alkyl, halo- $C_1-C_6$ -alkyl or  $C_3-C_6$ -cycloalkyl;

W signifies O or  $N(R_9)$ ;

$R_9$  signifies hydrogen or  $C_1-C_2$ -alkyl; and

a and b, independently of one another, are 0, 1 or 4, and

(12) A compound of formula I, wherein  $Ar_1$  und  $Ar_2$ , independently of one another, signify phenyl that is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $C_1-C_6$ -alkyl, halo- $C_1-C_6$ -alkyl,  $C_1-C_6$ -alkoxy, halo- $C_1-C_6$ -alkoxy; and phenoxy that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano,  $C_1-C_6$ -alkyl, halo- $C_1-C_6$ -alkyl,  $C_1-C_6$ -alkoxy and halo- $C_1-C_6$ -alkoxy;

Q signifies  $C(R_1)(R_2)$ ;

- 10 -

R<sub>1</sub> and R<sub>2</sub> signify hydrogen or together with the carbon to which they are bonded, are C<sub>2</sub>-C<sub>4</sub>-alkylene;

d is 1;

R<sub>3</sub> signifies hydrogen;

R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> and R<sub>8</sub>, independently of one another, signify hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl or halo-C<sub>1</sub>-C<sub>6</sub>-alkyl;

W signifies O;

R<sub>9</sub> signifies methyl; and

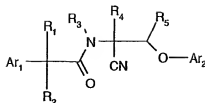
a and b, independently of one other, are 0 or 1.

The compounds I may be present in the form of one of the possible isomers or as a mixture thereof, e.g. depending on the number, absolute and relative configurations of the asymmetric carbon atoms as pure isomers, such as antipodes and/or diastereoisomers, or as isomeric mixtures, such as enantiomeric mixtures, e.g. racemates, diastereoisomeric mixtures or racemic mixtures; the invention relates to both the pure isomers and all the possible isomeric mixtures, and is to be understood as such hereinbefore and hereinafter, even if stereochemical details are not specifically mentioned in each case.

Synthesis of the compounds is described for example in EP 0 953 565 A2.

The compounds of formula I named in the following Table 1 are representative examples. Further examples are named in the tables of EP-0 953 565 A2.

Table 1



No.	Ar <sub>1</sub>	Ar <sub>2</sub>	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	phys. data
1.1.	C <sub>6</sub> H <sub>5</sub>	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	CH <sub>3</sub>	H	m.p. 152°
1.2.	C <sub>6</sub> H <sub>5</sub>	C <sub>6</sub> H <sub>4</sub> -4-Cl	(CH <sub>2</sub> ) <sub>2</sub>	H		CH <sub>3</sub>	H	m.p. 121°
1.3.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	H	H	m.p. 127-33°
1.4.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	CH <sub>3</sub>	H	m.p. 138-9°
1.5.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	m.p. 98-9°
1.6.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	C <sub>2</sub> H <sub>5</sub>	H	m.p. 131°
1.7.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	n-C <sub>3</sub> H <sub>7</sub>	H	m.p. 107-10°
1.8.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	i-C <sub>3</sub> H <sub>7</sub>	H	m.p. 123-6°
1.9.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	o-C <sub>3</sub> H <sub>5</sub>	H	m.p. 125-6°
1.10.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	(CH <sub>2</sub> ) <sub>4</sub>		m.p. 78-84°
1.11.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	H	m.p. 110-2°
1.12.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	n-C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>	H	m.p. 118-20°
1.13.	C <sub>6</sub> H <sub>4</sub> -2-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	CH <sub>2</sub> CCH	CH <sub>3</sub>	H	m.p. 72-4°
1.14.	C <sub>6</sub> H <sub>4</sub> -2-F	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	CH <sub>3</sub>	H	m.p. 132-3°
1.15.	C <sub>6</sub> H <sub>4</sub> -2-F	C <sub>6</sub> H <sub>4</sub> -4-Cl	(CH <sub>2</sub> ) <sub>2</sub>	H		CH <sub>3</sub>	H	m.p. 116-7°
1.16.	C <sub>6</sub> H <sub>4</sub> -3-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	C <sub>2</sub> H <sub>5</sub>	H	m.p. 134-6°
1.17.	C <sub>6</sub> H <sub>4</sub> -3-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	i-C <sub>3</sub> H <sub>7</sub>	H	m.p. 121-2°
1.18.	C <sub>6</sub> H <sub>4</sub> -3-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	H	H	H	o-C <sub>3</sub> H <sub>5</sub>	H	m.p. 121-4°
1.19.	C <sub>6</sub> H <sub>4</sub> -3-Cl	C <sub>6</sub> H <sub>4</sub> -4-Cl	(CH <sub>2</sub> ) <sub>2</sub>	G		CH <sub>3</sub>	H	m.p. 113-4°
1.20.	C <sub>6</sub> H <sub>4</sub> -3-Cl	C <sub>6</sub> H <sub>5</sub>	H	H	H	CH <sub>3</sub>	H	m.p. 132-5°

The compounds I according to the invention are notable for their broad activity spectrum and are valuable active ingredients in the field of pest control, including in particular the control of endoparasites, particularly helminths, on animals, whilst being well-tolerated by warm-blooded animals, fish and plants. These include the endoparasitic nematodes which may be the cause of serious diseases of mammals and poultry, e.g. sheep, pigs, goats, cattle, horses, donkeys, dogs, cats, guinea pigs and exotic birds. Typical nematodes of this

indication are: *Haemonchus*, *Trichostrongylus*, *Ostertagia*, *Nematodirus*, *Cooperia*, *Ascaris*, *Bunostomum*, *Oesophagostomum*, *Charbertia*, *Trichuris*, *Strongylus*, *Trichonema*, *Dictyocaulus*, *Capillaria*, *Heterakis*, *Toxocara*, *Ascaridia*, *Oxyuris*, *Ancylostoma*, *Uncinaria*, *Toxascaris* and *Parascaris*. The particular advantage of the compounds of formula I is their efficacy against those parasites that are resistant towards active ingredients based on benzimidazole.

Certain pests of the species *Nematodirus*, *Cooperia* and *Oesophagostomum* infest the intestinal tract of the host animal, while others of the species *Haemonchus* and *Ostertagia* are parasitic in the stomach and those of the species *Dictyocaulus* are parasitic in the lung tissue. Parasites of the families *Filariidae* and *Setariidae* may be found in the internal cell tissue and in the organs, e.g. the heart, the blood vessels, the lymph vessels and the subcutaneous tissue. A particularly notable parasite is the heartworm of the dog, *Dirofilaria immitis*. The compounds of formula I are highly effective against these parasites.

Furthermore, the compounds of formula I are suitable for the control of human pathogenic parasites. Of these, typical representatives that appear in the digestive tract are those of the species *Ancylostoma*, *Necator*, *Ascaris*, *Strongyloides*, *Trichinella*, *Capillaria*, *Trichuris* and *Enterobius*. The compounds of the present invention are also effective against parasites of the species *Wuchereria*, *Brugia*, *Onchocerca* and *Loa* from the family of *Filariidae*, which appear in the blood, in the tissue and in various organs, and also against *Dracunculus* and parasites of the species *Strongyloides* and *Trichinella*, which infect the gastrointestinal tract in particular.

The good pesticidal activity of the compounds of formula I corresponds to a mortality rate of at least 50-60% of the pests mentioned. In particular, the compounds of formula I are notable for the exceptionally long duration of efficacy.

The compounds of formula I are preferably employed in unmodified form or preferably together with the adjuvants conventionally used in the art of formulation and may therefore be processed in a known manner to give, for example, emulsifiable concentrates, directly dilutable solutions, dilute emulsions, soluble powders, granules or microencapsulations in polymeric substances. As with the compositions, the methods of application are selected in accordance with the intended objectives and the prevailing circumstances.

The formulation, i.e. the agents, preparations or compositions containing the active ingredient of formula I, or combinations of these active ingredients with other active

ingredients, and optionally a solid or liquid adjuvant, are produced in a manner known *per se*, for example by intimately mixing and/or grinding the active ingredients with spreading compositions, for example with solvents, solid carriers, and optionally surface-active compounds (surfactants).

The solvents in question may be: alcohols, such as ethanol, propanol or butanol, and glycols and their ethers and esters, such as propylene glycol, dipropylene glycol ether, ethylene glycol, ethylene glycol monomethyl or -ethyl ether, ketones, such as cyclohexanone, isophorone or diacetanol alcohol, strong polar solvents, such as N-methyl-2-pyrrolidone, dimethyl sulfoxide or dimethylformamide, or water, vegetable oils, such as rape, castor, coconut, or soybean oil, and also, if appropriate, silicone oils.

Preferred application forms for usage on warm-blooded animals in the control of helminths include solutions, emulsions, suspensions (drenches), food additives, powders, tablets including effervescent tablets, boli, capsules, micro-capsules and pour-on formulations, whereby the physiological compatibility of the formulation excipients must be taken into consideration.

The binders for tablets and boli may be chemically modified polymeric natural substances that are soluble in water or in alcohol, such as starch, cellulose or protein derivatives (e.g. methyl cellulose, carboxymethyl cellulose, ethylhydroxyethyl cellulose, proteins such as zein, gelatin and the like), as well as synthetic polymers, such as polyvinyl alcohol, polyvinyl pyrrolidone etc. The tablets also contain fillers (e.g. starch, microcrystalline cellulose, sugar, lactose etc.), glidants and disintegrants.

If the anthelmintics are present in the form of feed concentrates, then the carriers used are e.g. performance feeds, feed grain or protein concentrates. Such feed concentrates or compositions may contain, apart from the active ingredients, also additives, vitamins, antibiotics, chemotherapeutics or other pesticides, primarily bacteriostats, fungistats, coccidiostats, or even hormone preparations, substances having anabolic action or substances which promote growth, which affect the quality of meat of animals for slaughter or which are beneficial to the organism in another way. If the compositions or the active ingredients of formula I contained therein are added directly to feed or to the drinking troughs, then the formulated feed or drink contains the active ingredients preferably in a concentration of ca. 0.0005 to 0.02 % by weight (5-200 ppm).

The compounds of formula I according to the invention may be used alone or in combination with other biocides. They may be combined with pesticides having the same sphere of activity e.g. to increase activity, or with substances having another sphere of activity e.g. to broaden the range of activity. It can also be sensible to add so-called repellents. If the range of activity is to be extended to endoparasites, e.g. worms, the compounds of formula I are suitably combined with substances having endoparasitic properties. Of course, they can also be used in combination with antibacterial compositions. Since the compounds of formula I are adulticides, i.e. since they are effective in particular against the adult stage of the target parasites, the addition of pesticides which instead attack the juvenile stages of the parasites may be very advantageous. In this way, the greatest part of those parasites that produce great economic damage will be covered. Moreover, this action will contribute substantially to avoiding the formation of resistance. Many combinations may also lead to synergistic effects, i.e. the total amount of active ingredient can be reduced, which is desirable from an ecological point of view. Preferred groups of combination partners and especially preferred combination partners are named in the following, whereby combinations may contain one or more of these partners in addition to a compound of formula I.

Suitable partners in the mixture may be biocides, e.g. the insecticides and acaricides with a varying mechanism of activity, which are named in the following and have been known to the person skilled in the art for a long time, e.g. chitin synthesis inhibitors, growth regulators; active ingredients which act as juvenile hormones; active ingredients which act as adulticides; broad-band insecticides, broad-band acaricides and nematocides; and also the well known anthelmintics and insect- and/or acarid-deterring substances, said repellents or detachers.

Non-limitative examples of suitable insecticides and acaricides are:

1. Abamectin	8. Alphamethrin	15. Azocyclotin
2. AC 303 630	9. Amitraz	16. <i>Bacillus subtil.</i> toxin
3. Acephat	10. Avermectin B <sub>1</sub>	17. Bendiocarb
4. Acrinathrin	11. AZ 60541	18. Benfuracarb
5. Alanycarb	12. Azinphos A	19. Bensultap
6. Aldicarb	13. Azinphos M	20. $\beta$ -Cyfluthrin
7. $\alpha$ -Cypermethrin	14. Azinphos-methyl	21. Bifenthrin

22. BPMC	54. Dicliphos	86. Flucythrinat
23. Brofenprox	55. Diethion	87. Flufenoxuron
24. Bromophos A	56. Diflubenzuron	88. Flufenprox
25. Bufencarb	57. Dimethoat	89. Fonophos
26. Buprofezin	58. Dimethylvinphos	90. Formothion
27. Butocarboxin	59. Dioxathion	91. Fosthiazat
28. Butylpyridaben	60. DPX-MP062	92. Fubfenprox
29. Cadusafos	61. Edifenphos	93. HCH
30. Carbaryl	62. Eamectin	94. Heptenophos
31. Carbofuran	63. Endosulfan	95. Hexaflumuron
32. Carbophenthion	64. Esfenvalerat	96. Hexythiazox
33. Cartap	65. Ethiofencarb	97. Hydroprene
34. Chloethocarb	66. Ethion	98. Imidacloprid
35. Chlorethoxyfos	67. Ethofenprox	99. insect-active fungi
36. Chlorfenapyr	68. Ethoprophos	100. insect-active nematodes
37. Chlorfluazuron	69. Etrimphos	101. insect-active viruses
38. Chlormephos	70. Fenamiphos	102. Iprobenfos
39. Chlorpyrifos	71. Fenazaquin	103. Isofenphos
40. Cis-Resmethrin	72. Fenbutatinoxid	104. Isoproc carb
41. Clocythrin	73. Fenitrothion	105. Isoxathion
42. Clofentezin	74. Fenobucarb	106. Ivermectin
43. Cyanophos	75. Fenothiocarb	107. $\lambda$ -Cyhalothrin
44. Cycloprothrin	76. Fenoxycarb	108. Lufenuron
45. Cyfluthrin	77. Fenpropathrin	109. Malathion
46. Cyhexatin	78. Fenpyrad	110. Mecarbam
47. D 2341	79. Fenpyroximate	111. Mesulfenphos
48. Deltamethrin	80. Fenthion	112. Metalddehyd
49. Demeton M	81. Fenvalerate	113. Methamidophos
50. Demeton S	82. Fipronil	114. Methiocarb
51. Demeton-S-methyl	83. Fluazinam	115. Methomyl
52. Dibutylaminothio	84. Fluazuron	116. Methoprene
53. Dichlofenthion	85. Flucycloxuron	



117. Metolcarb	142. Propoxur	167. Terbufos
118. Mevinphos	143. Prothiofos	168. Tetrachlorvinphos
119. Milbemectin	144. Prothoat	169. Thiafenox
120. Moxidectin	145. Pyrachlophos	170. Thiodicarb
121. Naled	146. Pyradaphenthion	171. Thiofanox
122. NC 184	147. Pyresmethrin	172. Thionazin
123. NI-25, Acetamiprid	148. Pyrethrum	173. Thuringiensin
124. Nitenpyram	149. Pyridaben	174. Tralomethrin
125. Omethoat	150. Pyrimidifen	175. Triarthen
126. Oxamyl	151. Pyriproxyfen	176. Triazamate
127. Oxydemethon M	152. RH 5992	177. Triazophos
128. Oxydeprofos	153. RH-2485	178. Triazuron
129. Parathion	154. Salithion	179. Trichlorfon
130. Parathion-methyl	155. Sebufos	180. Triflumuron
131. Permethrin	156. Silafluofen	181. Trimethacarb
132. Phenthoat	157. Spinosad	182. Vamidothion
133. Phorat	158. Sulfotep	183. XMC (3,5,-Xylyl methylcarbamate)
134. Phosalone	159. Sulprofos	184. Xylylcarb
135. Phosmet	160. Tebufenozide	185. YI 5301/5302
136. Phoxim	161. Tebufenpyrad	186. ζ-Cypermethrin
137. Pirimicarb	162. Tebupirimphos	187. Zetamethrin
138. Pirimiphos A	163. Teflubenzuron	
139. Pirimiphos M	164. Tefluthrin	
140. Promecarb	165. Temephos	
141. Propaphos	166. Terbam	

Non-limitative examples of suitable anthelmintics are named in the following, a few representatives have insecticidal and acaricidal activity in addition to the anthelmintic activity, and are partly already in the above list.

(A1) Praziquantel = 2-cyclohexylcarbonyl-4-oxo-1,2,3,6,7,11b-hexahydro-4H-pyrazino[2,1- $\alpha$ ]isoquinoline

(A2) Closante = 3,5-diiodo-N-[5-chloro-2-methyl-4-( $\alpha$ -cyano-4-chlorobenzyl)phenyl]-salicylamide

- (A3) Triclabendazole = 5-chloro-6-(2,3-dichlorophenoxy)-2-methylthio-1H-benzimidazole
- (A4) Levamisole = L-(-)-2,3,5,6-tetrahydro-6-phenylimidazo[2,1b]thiazole
- (A5) Mebendazole = (5-benzoyl-1H-benzimidazol-2-yl)carbaminic acid methylester
- (A6) Omphalotin = a macrocyclic fermentation product of the fungus *Omphalotus olearius* described in WO 97/20857
- (A7) Abamectin = avermectin B1
- (A8) Ivermectin = 22,23-dihydroavermectin B1
- (A9) Moxidectin = 5-O-demethyl-28-deoxy-25-(1,3-dimethyl-1-butenyl)-6,28-epoxy-23-(methoxyimino)-milbemycin B
- (A10) Doramectin = 25-cyclohexyl-5-O-demethyl-25-de(1-methylpropyl)-avermectin A1a
- (A11) Milbemectin = mixture of milbemycin A3 and milbemycin A4
- (A12) Milbemycinoxim = 5-oxime of milbemectin
- Non-limitative examples of suitable repellents and detachers are:
- (R1) DEET (N, N-diethyl-m-toluamide)
- (R2) KBR 3023 N-butyl-2-oxycarbonyl-(2-hydroxy)-piperidine
- (R3) Cymiazole = N-,2,3-dihydro-3-methyl-1,3-thiazol-2-ylidene-2,4-xylidene

The said partners in the mixture are best known to specialists in this field. Most are described in various editions of the Pesticide Manual, The British Crop Protection Council, London, and others in the various editions of The Merck Index, Merck & Co., Inc., Rahway, New Jersey, USA or in patent literature. Therefore, the following listing is restricted to a few places where they may be found by way of example.

- (I) 2-Methyl-2-(methylthio)propionaldehyde-O-methylcarbamoyloxime (Aldicarb), from The Pesticide Manual, 11<sup>th</sup> Ed. (1997), The British Crop Protection Council, London, page 26;
- (II) S-(3,4-dihydro-4-oxobenzo[d]-[1,2,3]-triazin-3-ylmethyl)O,O-dimethyl-phosphorodithioate (Azinphos-methyl), from The Pesticide Manual, 11<sup>th</sup> Ed. (1997), The British Crop Protection Council, London, page 67;
- (III) Ethyl-N-[2,3-dihydro-2,2-dimethylbenzofuran-7-yloxy-carbonyl-(methyl)aminothio]-N-isopropyl-β-alaninate (Benfuracarb), from The Pesticide Manual, 11<sup>th</sup> Ed. (1997), The British Crop Protection Council, London, page 96;
- (IV) 2-Methylbiphenyl-3-ylmethyl-(Z)-(1RS)-cis-3-(2-chloro-3,3,3-trifluoroprop-1-enyl)-2,2-dimethylcyclopropanecarboxylate (Bifenthrin), from The Pesticide Manual, 11<sup>th</sup> Ed. (1997), The British Crop Protection Council, London, page 118;

- (V) 2-tert-butylimino-3-isopropyl-5-phenyl-1,3,5-thiadiazian-4-one (Buprofezin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 157;
- (VI) 2,3-Dihydro-2,2-dimethylbenzofuran-7-yl-methylcarbamate (Carbofuran), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 186;
- (VII) 2,3-Dihydro-2,2-dimethylbenzofuran-7-yl-(dibutylaminothio)methylcarbamate (Carbosulfan), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 188;
- (VIII) *S,S'*-(2-dimethylaminotrimethylene)-bis(thiocarbamate) (Cartap), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 193;
- (IX) 1-[3,5-Dichloro-4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenyl]-3-(2,6-difluorobenzoyl)-urea (Chlorfluaazuron), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 213;
- (X) *O,O*-diethyl-*O*-3,5,6-trichloro-2-pyridyl-phosphorothioate (Chlorpyrifos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 235;
- (XI) (*RS*)- $\alpha$ -cyano-4-fluoro-3-phenoxybenzyl-(1*RS*,3*RS*;1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-di-methylcyclopropanecarboxylate (Cyfluthrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 293;
- (XII) Mixture of (*S*)- $\alpha$ -cyano-3-phenoxybenzyl-(*Z*)-(1*R*,3*R*)-3-(2-chloro-3,3,3-trifluoropropenyl)-2,2-dimethylcyclopropanecarboxylate and (*R*)- $\alpha$ -cyano-3-phenoxybenzyl-(*Z*)-(1*R*,3*R*)-3-(2-chloro-3,3,3-trifluoropropenyl)-2,2-dimethylcyclopropanecarboxylate (Lambda-Cyhalothrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 300;
- (XIII) Racemate consisting of (*S*)- $\alpha$ -cyano-3-phenoxybenzyl-(*Z*)-(1*R*,3*R*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate and (*R*)- $\alpha$ -cyano-3-phenoxybenzyl-(1*S*,3*S*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (Alpha-cypermethrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 308;
- (XIV) a mixture of the stereoisomers of (*S*)- $\alpha$ -cyano-3-phenoxybenzyl (1*RS*,3*RS*,1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (zeta-Cypermethrin), from The

- Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 314;
- (XV) (*S*)- $\alpha$ -cyano-3-phenoxybenzyl-(1*R*,3*R*)-3-(2,2-dibromovinyl)-2,2-dimethylcyclopropane-carboxylate (Deltamethrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 344;
- (XVI) (4-chlorophenyl)-3-(2,6-difluorobenzoyl)urea (Diflubenzuron), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 395;
- (XVII) (1,4,5,6,7,7-Hexachloro-8,9,10-trinorborn-5-en-2,3-ylenebismethylene)-sulphite (Endosulfan), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 459;
- (XVIII)  $\alpha$ -ethylthio-o-tolyl-methylcarbamate (Ethiofencarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 479;
- (XIX) *O,O*-dimethyl-*O*-4-nitro-*m*-tolyl-phosphorothioate (Fenitrothion), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 514;
- (XX) 2-*sec*-butylphenyl-methylcarbamate (Fenobucarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 516;
- (XXI) (*RS*)- $\alpha$ -cyano-3-phenoxybenzyl-(*RS*)-2-(4-chlorophenyl)-3-methylbutyrate (Fenvalerate), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 539;
- (XXII) *S*-[formyl(methyl)carbamoylmethyl]-*O,O*-dimethyl-phosphorodithioate (Formothion), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 625;
- (XXIII) 4-Methylthio-3,5-xylyl-methylcarbamate (Methiocarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 813;
- (XXIV) 7-Chlorobicyclo[3.2.0]hepta-2,6-dien-6-yl-dimethylphosphate (Heptenophos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 670;
- (XXV) 1-(6-chloro-3-pyridylmethyl)-*N*-nitroimidazolidin-2-ylidenamine (Imidacloprid), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 706;
- (XXVI) 2-isopropylphenyl-methylcarbamate (Isoprocarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 729;

- (XXVII) *O,S*-dimethyl-phosphoramidothioate (Methamidophos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 808;
- (XXVIII) *S*-Methyl-*N*-(methylcarbamoyloxy)thioacetimide (Methomyl), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 815;
- (XXIX) Methyl-3-(dimethoxyphosphinoyloxy)but-2-enoate (Mevinphos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 844;
- (XXX) *O,O*-diethyl-*O*-4-nitrophenyl-phosphorothioate (Parathion), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 926;
- (XXXI) *O,O*-dimethyl-*O*-4-nitrophenyl-phosphorothioate (Parathion-methyl), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 928;
- (XXXII) *S*-6-chloro-2,3-dihydro-2-oxo-1,3-benzoxazol-3-ylmethyl-*O,O*-diethyl-phosphorothioate (Phosalone), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 963;
- (XXXIII) 2-Dimethylamino-5,6-dimethylpyrimidin-4-yl-dimethylcarbamate (Pirimicarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 985;
- (XXXIV) 2-isopropoxyphenyl-methylcarbamate (Propoxur), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1036;
- (XXXV) 1-(3,5-dichloro-2,4-difluorophenyl)-3-(2,6-difluorobenzoyl)urea (Teflubenzuron), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1158;
- (XXXVI) *S*-tert-butylthiomethyl-*O,O*-dimethyl-phosphorodithioate (Terbufos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1165;
- (XXXVII) ethyl-(3-tert-butyl-1-dimethylcarbamoyl-1*H*-1,2,4-triazol-5-yl-thio)-acetate, (Triazamate), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1224;
- (XXXVIII) Abamectin, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 3;

- (XXXIX) 2-*sec*-butylphenyl-methylcarbamate (Fenobucarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 516;
- (XL) *N*-*tert*-butyl-*N*-(4-ethylbenzoyl)-3,5-dimethylbenzohydrazide (Tebufenozide), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1147;
- (XLI) (±)-5-amino-1-(2,6-dichloro- $\alpha,\alpha,\alpha$ -trifluoro-*p*-tolyl)-4-trifluoromethyl-sulphonylpyrazol-3-carbonitrile (Fipronil), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 545;
- (XLII) (*RS*)- $\alpha$ -cyano-4-fluoro-3-phenoxybenzyl(1*RS*,3*RS*;1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (beta-Cyfluthrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 295;
- (XLIII) (4-ethoxyphenyl)-[3-(4-fluoro-3-phenoxyphenyl)propyl](dimethyl)silane (Silaflofen), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1105;
- (XLIV) *tert*-butyl (*E*)- $\alpha$ -(1,3-dimethyl-5-phenoxy-pyrazol-4-yl-methylenamino-oxy)-*p*-toluate (Fenpyroximate), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 530;
- (XLV) 2-*tert*-butyl-5-(4-*tert*-butylbenzylthio)-4-chloropyridazin-3(2*H*)-one (Pyridaben), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1161;
- (XLVI) 4-[[4-(1,1-dimethylphenyl)phenyl]ethoxy]-quinazoline (Fenazaquin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 507;
- (XLVII) 4-phenoxyphenyl-(*RS*)-2-(pyridyloxy)propyl-ether (Pyriproxyfen), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1073;
- (XLVIII) 5-chloro-*N*-(2-[4-(2-ethoxyethyl)-2,3-dimethylphenoxy]ethyl)-6-ethylpyrimidine-4-amine (Pyrimidifen), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1070;
- (XLIX) (*E*)-*N*-(6-chloro-3-pyridylmethyl)-*N*-ethyl-*N*-methyl-2-nitrovinylidenediamine (Nitenpyram), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 880;

- (L) (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine (NI-25, Acetamiprid), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 9;
- (LI) Avermectin B<sub>1</sub>, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 3;
- (LII) an insect-active extract from a plant, especially (2R,6aS,12aS)-1,2,6,6a,12,12a-hexahydro-2-isopropenyl-8,9-dimethoxy-chromeno[3,4-b]furo[2,3-h]chromen-6-one (Rotenone), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1097; and an extract from *Azadirachta indica*, especially azadirachtin, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 59; and
- (LIII) a preparation which contains insect-active nematodes, preferably *Heterorhabditis bacteriophora* and *Heterorhabditis megidis*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 671; *Steinernema feltiae*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1115 and *Steinernema scapterisci*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1116;
- (LIV) a preparation obtainable from *Bacillus subtilis*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 72; or from a strain of *Bacillus thuringiensis* with the exception of compounds isolated from GC91 or from NCTC11821; The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 73;
- (LV) a preparation which contains insect-active fungi, preferably *Verticillium lecanii*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1266; *Beauveria brogniartii*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 85 and *Beauveria bassiana*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 83;
- (LVI) a preparation which contains insect-active viruses, preferably *Neodiprion Sertifer* NPV, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1342; *Mamestra brassicae* NPV, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 759 and *Cydia pomonella granulosis* virus, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 291;

- (CLXXXI) 7-chloro-2,3,4a,5-tetrahydro-2-[methoxycarbonyl(4-trifluoromethoxyphenyl)-carbamoyl]indol[1,2e]oxazoline-4a-carboxylate (DPX-MP062, Indoxycarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 453;
- (CLXXXII) *N*-tert.-butyl-*N*'-(3,5-dimethylbenzoyl)-3-methoxy-2-methylbenzohydrazide (RH-2485, Methoxyfenozide), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1094; and
- (CLXXXIII) (*N*'-[4-methoxy-biphenyl-3-yl]-hydrazinecarboxylic acid isopropylester (D 2341), from Brighton Crop Protection Conference, 1996, 487- 493;
- (R2) Book of Abstracts, 212th ACS National Meeting Orlando, FL, August 25-29 (1996), AGRO-020. Publisher: American Chemical Society, Washington, D.C. CONEN: 63BFAF.

As a consequence of the above details, a further essential aspect of the present invention relates to combination preparations for the control of parasites on warm-blooded animals, characterised in that they contain, in addition to a compound of formula I, at least one further active ingredient having the same or different sphere of activity and at least one physiologically acceptable carrier. The present invention is not restricted to two-fold combinations.

As a rule, the anthelmintic compositions according to the invention contain 0.1 to 99 % by weight, especially 0.1 to 95 % by weight of active ingredient of formula I, Ia or mixtures thereof, 99.9 to 1 % by weight, especially 99.8 to 5 % by weight of a solid or liquid admixture, including 0 to 25 % by weight, especially 0.1 to 25 % by weight of a surfactant.

Application of the compositions according to the invention to the animals to be treated may take place topically, perorally, parenterally or subcutaneously, the composition being present in the form of solutions, emulsions, suspensions, (drenches), powders, tablets, boli, capsules and pour-on formulations.

The pour-on or spot-on method consists in applying the compound of formula I to a specific location of the skin or coat, advantageously to the neck or backbone of the animal. This takes place e.g. by applying a swab or spray of the pour-on or spot-on formulation to a relatively small area of the coat, from where the active substance is dispersed almost automatically over wide areas of the fur owing to the spreading nature of the components in the formulation and assisted by the animal's movements.



Pour-on or spot-on formulations suitably contain carriers, which promote rapid dispersment over the skin surface or in the coat of the host animal, and are generally regarded as spreading oils. Suitable carriers are e.g. oily solutions; alcoholic and isopropanolic solutions such as solutions of 2-octyldodecanol or oleyl alcohol; solutions in esters of monocarboxylic acids, such as isopropyl myristate, isopropyl palmitate, lauric acid oxalate, oleic acid oleyl ester, oleic acid decyl ester, hexyl laurate, oleyl oleate, decyl oleate, capric acid esters of saturated fat alcohols of chain length  $C_{12}$ - $C_{18}$ ; solutions of esters of dicarboxylic acids, such as dibutyl phthalate, diisopropyl isophthalate, adipic acid diisopropyl ester, di-n-butyl adipate or also solutions of esters of aliphatic acids, e.g. glycols. It may be advantageous for a dispersing agent to be additionally present, such as one known from the pharmaceutical or cosmetic industry. Examples are 2-pyrrolidone, 2-(N-alkyl)pyrrolidone, acetone, polyethylene glycol and the ethers and esters thereof, propylene glycol or synthetic triglycerides.

The oily solutions include e.g. vegetable oils such as olive oil, groundnut oil, sesame oil, pine oil, linseed oil or castor oil. The vegetable oils may also be present in epoxidised form. Paraffins and silicone oils may also be used.

A pour-on or spot-on formulation generally contains 1 to 20 % by weight of a compound of formula I, 0.1 to 50 % by weight of dispersing agent and 45 to 98.9 % by weight of solvent.

The pour-on or spot-on method is especially advantageous for use on herd animals such as cattle, horses, sheep or pigs, in which it is difficult or time-consuming to treat all the animals orally or by injection. Because of its simplicity, this method can of course also be used for all other animals, including individual domestic animals or pets, and is greatly favoured by the keepers of the animals, as it can often be carried out without the specialist presence of the veterinarian.

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

Such compositions may also contain further additives, such as stabilisers, anti-foaming agents, viscosity regulators, binding agents or tackifiers, as well as other active ingredients, in order to achieve special effects.

Anthelmintic compositions of this type, which are used by the end user, similarly form a constituent of the present invention.

In each of the processes according to the invention for pest control or in each of the pest control compositions according to the invention, the active ingredients of formula I can be used in all of their steric configurations or in mixtures thereof.

The invention also includes a method of prophylactically protecting warm-blooded animals, especially productive livestock, domestic animals and pets, against parasitic helminths, which is characterised in that the active ingredients of formula I or the active ingredient formulations prepared therefrom are administered to the animals as an additive to the feed, or to the drinks or also in solid or liquid form, orally or by injection or parenterally. The invention also includes the compounds of formula I according to the invention for usage in one of the said processes.

The following examples serve merely to illustrate the invention without restricting it, the term active ingredient representing a substance listed in tables 1 to 3.

In particular, preferred formulations are made up as follows:

(% = percent by weight)

#### Formulation examples

##### 1. Granulate

	a)	b)
active ingredient from Table 1	5 %	10 %
kaolin	94 %	-
highly dispersed silicic acid	1 %	-
attapulgit	-	90 %

The active ingredient is dissolved in methylene chloride, sprayed onto the carrier and the solvent subsequently concentrated by evaporation under vacuum. Granulates of this kind can be mixed with the animal feed.

##### 2. Granulate

active ingredient from Table 1	3 %
polyethylene glycol (mw 200)	3 %
kaolin	94 %

(mw = molecular weight)

The finely ground active ingredient is evenly applied in a mixer to the kaolin which has been moistened with polyethylene glycol. In this way, dust-free coated granules are obtained.

##### 3. Tablets or boli

- 26 -

- |    |                                |         |
|----|--------------------------------|---------|
| I  | active ingredient from Table 1 | 33.00 % |
|    | methylcellulose                | 0.80 %  |
|    | silicic acid, highly dispersed | 0.80 %  |
|    | corn starch                    | 8.40 %  |
| II | lactose, cryst.                | 22.50 % |
|    | corn starch                    | 17.00 % |
|    | microcryst. cellulose          | 16.50 % |
|    | magnesium stearate             | 1.00 %  |
- I Methyl cellulose is stirred into water. After the material has swollen, silicic acid is stirred in and the mixture homogeneously suspended. The active ingredient and the corn starch are mixed. The aqueous suspension is worked into this mixture and kneaded to a dough. The resulting mass is granulated through a 12 M sieve and dried.
- II All 4 excipients are mixed thoroughly.
- III The preliminary mixes obtained according to I and II are mixed and pressed into tablets or boli.

#### 4. Injectables

##### A. Oily vehicle (slow release)

- |    |                                |           |
|----|--------------------------------|-----------|
| 1. | active ingredient from Table 1 | 0.1-1.0 g |
|    | groundnut oil                  | ad 100 ml |
| 2. | active ingredient from Table 1 | 0.1-1.0 g |
|    | sesame oil                     | ad 100 ml |

Preparation: The active ingredient is dissolved in part of the oil whilst stirring and, if required, with gentle heating, then after cooling made up to the desired volume and sterile-filtered through a suitable membrane filter with a pore size of 0.22 mm.

##### B Water-miscible solvent (average rate of release)

- |   |           |
|---|-----------|
| active ingredient from Table 1                  | 0.1-1.0 g |
| 4-hydroxymethyl-1,3-dioxolane (glycerol formal) | 40 g      |
| 1,2-propanediol                                 | ad 100 ml |
| an active ingredient from table 1               | 0.1-1.0 g |
| glycerol dimethyl ketal                         | 40 g      |
| 1,2-propanediol                                 | ad 100 ml |

Preparation: The active ingredient is dissolved in part of the solvent whilst stirring, made up to the desired volume and sterile-filtered through a suitable membrane filter with a pore size of 0.22 µm.

C. Aqueous solubilisate (rapid release)

1. active ingredient from Table 1	0.1-1.0 g
polyethoxylated castor oil (40 ethylene oxide units)	10 g
1,2-propanediol	20 g
benzyl alcohol	1 g
Aqua ad inject.	ad 100 ml
2. active ingredient from Table 1	0.1-1.0 g
polyethoxylated sorbitan monooleate (20 ethylene oxide units)	8 g
4-hydroxymethyl-1,3-dioxolane (glycerol formal)	20 g
benzyl alcohol	1 g
Aqua ad inject.	ad 100 ml

Preparation: The active ingredient is dissolved in the solvents and the surfactant, and made up with water to the desired volume. Sterile filtration through an appropriate membrane filter of 0.22 µm pore size.

5. Pour on

A.

active ingredient from Table 1	5 g
isopropyl myristate	10 g
isopropanol	ad 100 ml

B

active ingredient from Table 1	2 g
hexyl laurate	5 g
medium-chained triglyceride	15 g
ethanol	ad 100 ml

C.

active ingredient from Table 1	2 g
oleyl oleate	5 g
N-methylpyrrolidone	40 g
isopropanol	ad 100 ml

The aqueous systems may also preferably be used for oral and/or intraruminal application.

The compositions may also contain further additives, such as stabilisers, e.g. where appropriate epoxidised vegetable oils (epoxidised coconut oil, rapeseed oil, or soybean oil); antifoams, e.g. silicone oil, preservatives, viscosity regulators, binders, tackifiers, as well as fertilisers or other active ingredients to achieve special effects.

Further biologically active substances or additives, which are neutral towards the compounds of formula I and do not have a harmful effect on the host animal to be treated, as well as mineral salts or vitamins, may also be added to the described compositions.

#### Biological Examples:

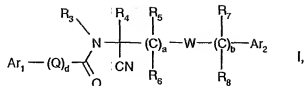
##### 1. In-vivo test on *Trichostrongylus colubriformis* and *Haemonchus contortus* on Mongolian gerbils (*Meriones unguiculatus*) using peroral application

Six to eight week old Mongolian gerbils are infected by artificial feeding with ca. 2000 third instar larvae each of *T. colubriformis* and *H. contortus*. 6 days after infection, the gerbils are lightly anaesthetised with N<sub>2</sub>O and treated by peroral application with the test compounds, dissolved in a mixture of 2 parts DMSO and 1 part polyethylene glycol (PEG 300), in quantities of 100, 32 and 10 -0.1 mg/kg. On day 9 (3 days after treatment), when most of the *H. contortus* that are still present are late 4th instar larvae and most of the *T. colubriformis* are immature adults, the gerbils are killed in order to count the worms. The efficacy is calculated as the % reduction of the number of worms in each gerbil, compared with the geometric average of number of worms from 8 infected and untreated gerbils.

In this test, a vast reduction in nematode infestation is achieved with compounds of formula I.

What we claim is:

## 1. Use of compounds of formula



wherein

Ar<sub>1</sub> and Ar<sub>2</sub>, independently of one another, signify unsubstituted phenyl or phenyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyloxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonylamino, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, unsubstituted phenyl or phenyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl; unsubstituted phenoxy or phenoxy which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl; unsubstituted phenylacetylenyl or phenylacetylenyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl; and unsubstituted pyridyloxy or pyridyloxy which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl,

- 30 -

halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl;  
 unsubstituted pyridyl or pyridyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfanyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfanyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-C<sub>1</sub>-C<sub>6</sub>-alkylamino;  
 or

unsubstituted naphthyl or naphthyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfanyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfanyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-C<sub>1</sub>-C<sub>6</sub>-alkylamino;  
 or

Q signifies C(R<sub>1</sub>)(R<sub>2</sub>), CH=CH or C≡C;

R<sub>1</sub> and R<sub>2</sub> independently of one another, signify hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, or unsubstituted C<sub>3</sub>-C<sub>6</sub>-cycloalkyl or C<sub>3</sub>-C<sub>6</sub>-cycloalkyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen and C<sub>1</sub>-C<sub>6</sub>-alkyl;

or together with the carbon to which they are bonded, signify C<sub>2</sub>-C<sub>6</sub>-alkylene that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl and C<sub>1</sub>-C<sub>6</sub>-alkoxy;

d signifies 0 or 1;

R<sub>3</sub> signifies hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, cyano-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>2</sub>-C<sub>6</sub>-alkenyl or C<sub>2</sub>-C<sub>6</sub>-alkinyl;

R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> and R<sub>8</sub> either, independently of one another, signify hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen and C<sub>1</sub>-C<sub>6</sub>-alkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group comprising halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-C<sub>1</sub>-C<sub>6</sub>-alkylamino;

or R<sub>4</sub> and R<sub>5</sub> together signify C<sub>2</sub>-C<sub>6</sub>-alkylene;

W signifies O, S, S(O<sub>2</sub>) or N(R<sub>9</sub>);

R<sub>9</sub> signifies hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl; and

a and b, independently of one another, are 0, 1, 2, 3 or 4,

and optionally the enantiomers thereof, whereby W is other than O if b is 0, in the control of endoparasitic pests in warm-blooded productive livestock and domestic animals.

2. Composition for the control of pests according to claim 1, which contains as active ingredient at least one compound of formula I according to claim 1, in addition to carriers and/or dispersants.
3. Method of controlling pests according to claim 1, whereby a pesticidally active amount of at least one compound of formula I according to claim 1 is used on the pests.
4. Use of a compound of formula I according to claim 1 in a process for controlling parasites on warm-blooded animals.
5. Use of a compound of formula I according to claim 1 in the preparation of a pharmaceutical composition against parasites.



## INTERNATIONAL SEARCH REPORT

Int. Application No.  
PCT/EP 02/00568

A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 A01N37/34 A61K31/277

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A01N A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BIOSIS, PASCAL, EMBASE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 953 565 A (NIHON NOHYAKU CO LTD) 3 November 1999 (1999-11-03) the whole document	1-5
E	WO 02 49641 A (NOVARTIS ERFINDE VERWALT GMBH ; NOVARTIS AG (CH); BOUVIER JACQUES (C) 27 June 2002 (2002-06-27) the whole document	1-5
E	WO 02 50052 A (DUCRAY PIERRE ; BOUVIER JACQUES (CH); STEIGER ARTHUR (CH); ZAMBACH) 27 June 2002 (2002-06-27) the whole document	1-5

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*C\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

\*B\* document member of the same patent family

Date of the actual completion of the international search

8 July 2002

Date of mailing of the international search report

15/07/2002

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## INTERNATIONAL SEARCH REPORT

International Application No. PCT/EP 02/00568

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Present claims 1-5 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been limited and carried out for the compounds specifically mentioned in the description (p. 11) of the present application. In addition, the search has been carried out for the compounds specifically mentioned in EP953565 to which reference is made in the present application, as these compounds are as well encompassed in the Markush-Formula of the present application. Furthermore, a more general search has been carried out using keywords relating to common structural elements of the compounds disclosed in the present application.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/EP 02/00568

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claims 1, 3 and 4 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☒ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

information on patent family members

International Application No.

PCT/EP 02/00568

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 0953565	A	03-11-1999	AU 2602799 A	11-11-1999
			CN 1234177 A	10-11-1999
			EP 0953565 A2	03-11-1999
			JP 2000026392 A	25-01-2000
			US 6239077 B1	29-05-2001
WO 0249641	A	27-06-2002	WO 0249641 A2	27-06-2002
WO 0250052	A	27-06-2002	WO 0250052 A1	27-06-2002